Abstract

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Title of diploma thesis: **Synthesis of roscovitine analogues derived from [1,2,4]triazolo[4,3-*a*]pyrazines**

Cancer affects all ages across the world. This is a very serious disease with high mortality and without universal therapeutic strategy. There are many drugs that inhibit cancer growth, but on the other hand these drugs have very frequent and serious side effects. Therefore there are the attempts to find such substances which have a specific activity only against changed cancerous tissue and minimal effects on healthy tissues.

Roscovitine is an experimental drug with potential for the treatment of cancer. The main mechanism of action of roscovitine is inhibition of cyclin-dependent kinases participating in the regulation of cell cycle. Roscovitine is currently undergoing the clinical trials.

In my thesis, we focused on the preparation of new analogs of roscovitine based on the structure of 1,2,4-triazolo[4,3-*a*]pyrazine. In first step, we prepared 5-(benzyl/phenylamino)-6-chloropyrazin-2,3-dicarbonitrile from commercially available 5,6-dichloropyrazin-2,3-dicarbonitrile and aniline or benzylamine. In the next step nucleophilic substitution of 6-chloropyrazines with 5-alkyl-1*H*-tetrazoles with subsequent spontaneous elimination of nitrogen and cyclization led to the final products. Our substances were ineffective *in vitro* against cyclin-dependent kinases.